

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/IB 02/05590

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D207/14 C07D 12 C07D207/12 A61K31/40 A61K31/4025  
A61P11/00 A61P13/00 A61P3/04 A61P3/10

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 091 570 A (BIEL JOHN H) 28 May 1963 (1963-05-28) example 2 column 2, line 26 - line 38 column 3, line 12 - line 32	1-17
X	US 2 956 062 A (LUNSFORD CARL D) 11 October 1960 (1960-10-11) table I column 2, line 3 - line 8	1-17
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*B\* document member of the same patent family

Date of the actual completion of the international search

20 January 2004

Date of mailing of the international search report

29.01.2004

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication where appropriate, of the relevant passages	Relevant to claim No.
X	<p>BERNARD V. FRANKO ET AL: "Derivatives of 3-Pyrrolidinols-III. The Chemistry, Pharmacology, and Toxicology of some N-Substituted-3-Pyrrolidyl alpha-Substituted Phenylacetates" JOURNAL OF MEDICINAL AND PHARMACEUTICAL CHEMISTRY, vol. 2, 1960, pages 523-529, XP008021298 examples 504,379,371,372,480,479,484,487,485; table I page 534 -page 538</p>	1-17
X	<p>BIEL J H ET AL: "CENTRAL STIMULANTS. II. CHOLINERGIC BLOCKING AGENTS" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 26, 1961, pages 4096-4103, XP002067288 ISSN: 0022-3263 abstract examples 1,3; table II examples 11,13; table III</p>	1-17
X	<p>EP 0 012 071 A (SYNTEX INC) 11 June 1980 (1980-06-11) example 3 page 10, line 32-35</p>	1,2
Y	<p>EGLEN R M ET AL: "MUSCARINIC RECEPTOR LIGANDS AND THEIR THERAPEUTIC POTENTIAL" CURRENT OPINION IN CHEMICAL BIOLOGY, CURRENT BIOLOGY LTD, LONDON, GB, vol. 3, no. 4, August 1999 (1999-08), pages 426-432, XP000972296 ISSN: 1367-5931 cited in the application abstract figures 3,4</p>	1-17
Y	<p>EP 0 388 054 A (PFIZER LTD ;PFIZER (US)) 19 September 1990 (1990-09-19) cited in the application examples 4-8 claims 11,12</p>	1-17
Y	<p>WO 98 21183 A (NOE CHRISTIAN R ;WELBROECK MAGALI (BE); LAMBRECHT GUENTER (DE); C) 22 May 1998 (1998-05-22) examples 1,2 claims 1,17,18</p>	1-17

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 0 823 423 A (BANYU PHARMA CO LTD) 11 February 1998 (1998-02-11) cited in the application examples 2,12,17,28,29,7120; table 1 claims 10,11	1-17
Y	WO 02 04402 A (BANYU PHARMA CO LTD ;MATSUDA KENJI (JP); KURIHARA HIDEKI (JP); OGI) 17 January 2002 (2002-01-17) -& EP 1 302 458 A (BANYU PHARMA CO LTD) 16 April 2003 (2003-04-16) examples 16-24,27-30,40 claims 40,41	1-17
Y	YUFU SAGARA ET AL: "Cyclohexylmethylpiperidinyltriphenylpropi onamide: a selective muscarinic M3 antagonist discriminating against the other receptor subtypes" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 45, no. 4, 2002, pages 984-987, XP002238502 ISSN: 0022-2623 cited in the application abstract figure 1	1-17
Y	US 6 174 900 B1 (TAKAHASHI YOSHINORI ET AL) 16 January 2001 (2001-01-16) cited in the application tables 1,2 examples 1,4 claim 6	1-17
Y	EP 0 863 141 A (BANYU PHARMA CO LTD) 9 September 1998 (1998-09-09) cited in the application claims 5,6; example 1	1-17
Y	EP 0 930 298 A (BANYU PHARMA CO LTD) 21 July 1999 (1999-07-21) examples 16-18,29 claims 14-16	1-17

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication where appropriate, of the relevant passages	Relevant to claim No.
Y	<p>TANIGUCHI K ET AL: "AGENTS FOR THE TREATMENT OF OVERACTIVE DETRUSOR. VI. SYNTHESIS AND PHARMACOLOGICAL PROPERTIES OF ACETAMIDE DERIVATIVES BEARING CYCLIC AMINES IN N-SUBSTITUENTS" CHEMICAL AND PHARMACEUTICAL BULLETIN, PHARMACEUTICAL SOCIETY OF JAPAN. TOKYO, JP, vol. 42, no. 1, 1994, pages 74-84, XP002067286  ISSN: 0009-2363  examples 4C-4G  column 1</p>	1-17
X	<p>O'NEILL, JOHN J. ET AL: "Biochemical effects of psychotomimetic anticholinergic drugs" ADVANCES IN BIOCHEMICAL PSYCHOPHARMACOLOGY (1972), 6, 203-18 , XP008026629  page 203 -page 204</p>	1-17

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## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 4-7 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.: 1 and 4 (part.)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-17 (all partially)

Compounds according to formula (I) of claim 1 in which X represents oxo as well as their pharmaceutical use and compositions and the process for making them.

2. Claims: 1-17 (all partially)

Compounds according to formula (I) of claim 1 in which X represents amino as well as their pharmaceutical use and compositions and the process for making them.

3. Claims: 1, 3-17 (all partially)

Compounds according to formula (I) of claim 1 in which X represents lower alkyl(C1-C4)amino as well as their pharmaceutical use and compositions and the process for making them.

4. Claims: 1, 3-17 (all partially)

Compounds according to formula (I) of claim 1 in which X represents lower alkoxy (C1-C4) as well as their pharmaceutical use and compositions and the process for making them.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1 and 4 (part.)

The scope of claims 1 and 4, in as far as the expressions "prodrugs and metabolites" are concerned, is so unclear (Article 6 PCT), that a meaningful International Search is impossible with regard to these expressions.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

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